L Number	Hits	Search Text	DB	Time stamp
1	63	POLYGALACTOSAMINE (POLY ADJ GALACTOSAMINE)	USPAT;	2004/02/23 07:56
			US-PGPUB	
2	710868	OXIDIZ\$6 OXIDAT\$6 CHITOSAN COSMETIC CM	USPAT;	2004/02/23 07:57
		CARBOXYMETHYL	US-PGPUB	
3	63	(POLYGALACTOSAMINE (POLY ADJ	USPAT;	2004/02/23 07:56
		GALACTOSAMINE)) AND (OXIDIZ\$6 OXIDAT\$6	US-PGPUB	
		CHITOSAN COSMETIC CM CARBOXYMETHYL)		
4	709034	OXIDIZ\$6 OXIDAT\$6 COSMETIC CM	USPAT;	2004/02/23 07:58
		CARBOXYMETHYL	US-PGPUB	
5	8602	CHITOSAN	USPAT;	2004/02/23 07:58
			US-PGPUB	
6	54	(POLYGALACTOSAMINE (POLY ADJ	USPAT;	2004/02/23 08:20
		GALACTOSAMINE)) AND (OXIDIZ\$6 OXIDAT\$6	US-PGPUB	
		COSMETIC CM CARBOXYMETHYL) AND CHITOSAN		
7	16	poygalactosamine (poly adj galactosamine)	EPO; JPO;	2004/02/23 08:24
			DERWENT	
8	52	polygalactosamine (poly adj galactosamine)	EPO; JPO;	2004/02/23 08:23
			DERWENT	
9	36	(polygalactosamine (poly adj	EPO; JPO;	2004/02/23 08:24
		galactosamine)) not (poygalactosamine	DERWENT	
		(poly adj galactosamine))		

(FILE 'HOME' ENTERED AT 07:19:07 ON 23 FEB 2004)

FILE 'REGISTRY' ENTERED AT 07:19:19 ON 23 FEB 2004 1 S POLYGALACTOSAMINE L1

FILE 'CAPLUS' ENTERED AT 07:20:15 ON 23 FEB 2004

L232 S L1

L8

0 S POLYGALATOSAMINE 76 S POLYGALACTOSAMINE L3 L4

85 S L1 OR L4 L5

926401 S OXIDAT? L6 366906 S OXIDIZ? **Ь**7

3 S L5 AND (L6 OR L7)

16118 S CHITOSAN 37 S L5 AND L9 37 S L10 NOT L8 L9L10 L11

```
L11 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
                          2003:167003 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                           138:189696
                           Water insolubilization of cyclodextrin derivatives
TITLE:
                           while keeping high inclusion capacity
INVENTOR (S):
                           Aoki, Nobuyoshi; Hattori, Kenjiro
PATENT ASSIGNEE(S):
                           Kanagawa Prefecture, Japan
                           Jpn. Kokai Tokkyo Koho, 7 pp.
SOURCE:
                           CODEN: JKXXAF
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
                       ----
     JP 2003064103
                        A2
                              20030305
                                             JP 2001-255816
                                                                20010827
                                          JP 2001-255816
                                                                20010827
PRIORITY APPLN. INFO.:
     Cyclodextrin (derivs.) are aminated at OH groups and reacted with supports
     having amino groups and carboxyl groups in one mol. The supports may be
     carboxyl-induced chitosan, polygalactosamine, and/or
     polylysine. Thus, monoaminated \beta-cyclodextrin was reacted with
     N-succinylchitosan at room temperature in the presence of 1-ethyl-3-(3-
     dimethylaminopropyl)carbodiimide to give a gel, which was dialyzed and
     dried to hive a white product of cyclodextrin content 46.2%.
L11 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           2002:428678 CAPLUS
DOCUMENT NUMBER:
                           137:10981
TITLE:
                           Method for making a cell activating implantable
                          pharmaceutical composition
INVENTOR (S):
                          Maingault, Philippe; Bulette Maingault, Martine
PATENT ASSIGNEE(S):
                           Fr.
SOURCE:
                           PCT Int. Appl., 28 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          French
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                     KIND DATE
                                             APPLICATION NO. DATE
     WO 2002043692
                                                               20011130
                       A1 20020606
                                             WO 2001-FR3790
         W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CO, CR,
              CU, CZ, DM, DZ, EE, FI, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM,
             TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                       A1
A5
     FR 2817479
                             20020607
                                             FR 2000-15584
                                                                20001201
     AU 2002022082
                              20020611
                                              AU 2002-22082
                                                                20011130
                                                           A 20001201
W 20011130
PRIORITY APPLN. INFO.:
                                           FR 2000-15584
                                          WO 2001-FR3790
     The invention concerns a method for making an implantable composition capable
     of physiol. stimulating cells containing substances. The method is described
     in that it consists of fixing a non-enzymic activator on a sterile
     biopolymer matrix, dehydrating the matrix by freeze-drying and,
     re-hydrating in vitro the matrix by contacting it with a hydrating medium
     consisting of a platelet-rich plasma. The release of active substance(s)
     by the cells and adsorption on the matrix is brought about by contacting
     the solubilized cell activator with the cells contained in the hydrating
     medium. The invention is useful for making a composition for treating lesions.
     Thus, a prolonged-release of platelet-derived growth factors was observed in
     a biol. medium placed in contact with a biopolymeric matrix (calcium
     pectate).
REFERENCE COUNT:
                                 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                                 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L11 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          2001:685885 CAPLUS
DOCUMENT NUMBER:
                          136:289416
TITLE:
                          Analysis of the mechanism underlying the expression of
```

plasmid/chitosan complexes using

FITC-labeled plasmid

```
AUTHOR(S):
                           Sato, Toshinori
CORPORATE SOURCE:
                           Department of Science and Engineering, Keio
                           University, Japan
                           Dojin News (2001), 99, 1-5
                           CODEN: DONEEA; ISSN: 0385-1516
                           Dojin Kagaku Kenkyusho
PUBLISHER:
DOCUMENT TYPE:
                           Journal; General Review
LANGUAGE:
                           Japanese
     A review. Aminopolysaccharides such as chitosan and
     polygalactosamine (pGalN) were used to transfer luciferase plasmid
      into tumor cells. Chitosan largely enhanced the transfection
     efficiency of luciferase plasmid (pGL3), while pGalN did not at all.
     Transfection efficiencies of the pGL3/chitosan complexes were
      dependent on pH of culture medium, stoichiometry of pGL3:chitosan
      , serum, and mol. mass of chitosan. The transfection mechanism
     of plasmid/chitosan complexes was analyzed by using FITC-labeled
     plasmid and sulforhodamine-labeled chitosan. After which,
     plasmid/chitosan complexes were engulfed by endocytosis and
     possibly released from endosome due to swelling of lysosomal in addition to
     swelling of plasmid/chitosan complex, causing the endosome to rupture. Finally, complexes were also observed to accumulate in the nucleus
     using a confocal laser scanning microscope.
L11 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           2001:526555 CAPLUS
DOCUMENT NUMBER:
                           135:376632
TITLE:
                           In vitro gene delivery mediated by chitosan.
                           Effect of pH, serum, and molecular mass of
                           chitosan on the transfection efficiency
AUTHOR(S):
                           Sato, Toshinori; Ishii, Tsuyoshi; Okahata, Yoshio
CORPORATE SOURCE:
                           Department of Biomolecular Engineering, Tokyo
                           Institute of Technology, Yokohama, 226-8501, Japan Biomaterials (2001), 22(15), 2075-2080
SOURCE:
                           CODEN: BIMADU; ISSN: 0142-9612
PUBLISHER:
                           Elsevier Science Ltd.
DOCUMENT TYPE:
                           Journal
LANGUAGE:
                           English
    Aminopolysaccharides such as chitosan and
     polygalactosamine (pGalN) were used to transfer a luciferase plasmid into tumor cells. Chitosan largely enhanced the
     transfection efficiency of the luciferase plasmid (pGL3), while pGalN did
     not at all. Transfection efficiencies of the pGL3/chitosan
     complexes were dependent on pH of culture medium, stoichiometry of pGL3:
     chitosan, serum, and mol. mass of chitosan.
     Transfection efficiency at pH 6.9 was higher than that at pH 7.6. Optimum
     charge ratio of the pGL3:chitosan was 1:5. A chitosan
     polymer of 15 and 52 kDa largely promoted luciferase activities. Transfection efficiency mediated by chitosan of > 100 kDa was
     less than that by chitosan of 15 and 52 kDa. Heptamer (1.3 kDa) did not show any gene expression. Cationic liposome (lipofectin)-associated
     gene expression was inhibited by serum, while chitosan showed
     resistance to serum.
REFERENCE COUNT:
                                  THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
                                  RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L11 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           2001:111305 CAPLUS
DOCUMENT NUMBER:
                           134:163843
                           Polymerization of monomers having ethylenic double
TITLE:
                           bonds while inhibiting scale formation
INVENTOR(S):
                           Shimizu, Toshihide; Watanabe, Mikio; Fujimoto,
                           Tatsuya; Noguki, Genji
PATENT ASSIGNEE(S):
                           Shin-Etsu Chemical Industry Co., Ltd., Japan
SOURCE:
                           Jpn. Kokai Tokkyo Koho, 15 pp.
                           CODEN: JKXXAF
DOCUMENT TYPE:
                           Patent.
LANGUAGE .
                           Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
                       ----
                              -----
     JP 2001040005
                        A2
                              20010213
                                              JP 1999-215556 19990729
PRIORITY APPLN. INFO.:
                                           JP 1999-215556
                                                                 19990729
    The polymerization reactors have inner-wall coatings which are prepared by applying
```

coatings containing water-soluble anionic macromols. and cationic organic compds. while using water vapor as carriers. Thus, an aqueous solution containing 100:30 (%)

poly(acrylic acid)/polyethyleneimine mixture was applied on the inner wall

of a polymerization reactor while introducing water vapor as coating carriers to give a thin coating which prevented scales from adhering to the reactor walls effectively in 50-batch polymns. of vinyl chloride monomers. The resulted polymers had little fisheyes.

L11 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2001:106387 CAPLUS 134:163825

TITLE:

Polymerization of ethylenically unsaturated monomers

while inhibiting scale formation

INVENTOR(S):

Shimizu, Toshihide; Watanabe, Mikio; Fujimoto, Tatsuya; Nokuki, Genji

PATENT ASSIGNEE(S):

Shin-Etsu Chemical Industry Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2001040003 20010213 JP 1999-215554 19990729 PRIORITY APPLN. INFO.: JP 1999-215554 19990729

The polymerization reactors have inner-wall coatings which are prepared by applying coatings containing N-containing macromols. (preferably proteins) and tannines while using water vapor as carriers. Thus, a 80:20 (%) water/MeOH solution containing 100:50 (%) gelatin/Chinese tannin mixture was applied on the inner wall of a polymerization reactor while introducing water vapor as coating carriers to give a thin coating which prevented scales from adhering to the reactor walls effectively in 50-batch polymns. of vinyl chloride monomers. The resulted polymers had little fisheyes.

L11 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:629682 CAPLUS

DOCUMENT NUMBER: TITLE:

130:75818 Design of lysosomotropic macromolecular prodrug of

doxorubicin using N-acetyl-α-1,4-

polygalactosamine as a targeting carrier to

hepatoma tissue

AUTHOR(S):

Ouchi, Tatsuro; Tada, Masahiro; Matsumoto, Mitsuo;

Ohya, Yuichi; Hasegawa, Kaname; Arai, Yuichi;

Kadowaki, Kiyoshi; Akao, Santaro; Matsumoto, Tatsuji;

Suzuki, Shigeo; Suzuki, Masuko

CORPORATE SOURCE:

Department of Applied Chemistry Faculty of Engineering & High Technology, Kansai University, Osaka, 564-8680,

Japan

SOURCE:

Journal of Bioactive and Compatible Polymers (1998),

13(4), 257-269 CODEN: JBCPEV; ISSN: 0883-9115 Technomic Publishing Co., Inc.

PUBLISHER: DOCUMENT TYPE:

LANGUAGE:

Journal English

 $\alpha\text{--}1,4\text{--}$  Polygalactosamine (PGA) and N-acetylated  $\alpha\text{--}1,4\text{--}$  polygalactosamine (NAPGA) are chitosan-

and chitin-like biodegradable  $\alpha$ -1,4-linked polysaccharides, resp. Radioactivity of 14C-50% N-acetylated PGA injected into hepatomized mice, was found to accumulate more in the liver, kidney, ileum and hepatoma tumor tissues, compared with other organs. To provide a lysosomotropic macromol. prodrug of doxorubicin (DXR) targeted to hepatoma tumor tissue, DXR was immobilized on water-soluble 6-O-carboxymethyl(CM)-NAPGA by Gly-Phe-Leu-Gly spacer groups (CM-NAPGA/Gly-Phe-Leu-Gly/DXR conjugate). The conjugate showed cathepsin B susceptible DXR release behavior and exhibited remarkable survival effects in mice bearing MH134Y hepatoma implanted by s.c. (s.c.) implantation/i.v. (i.v.) injection, compared with free DXR and CM-NAPGA-immobilized DXRs with pentamethylene spacer groups (CM-NAPGA/C5/DXR conjugate).

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

129:183939

21

ACCESSION NUMBER:

1998:451196 CAPLUS

DOCUMENT NUMBER: TITLE:

Design of macromolecular prodrug of 5-fluorouracil using N-acetylpolygalactosamine as a targeting carrier

to hepatoma

AUTHOR(S):

Ouchi, Tatsuro; Tada, Masahiro; Matsumoto, Mitsuo; Ohya, Yuichi; Hasegawa, Kaname; Arai, Yuichi;

Kadowaki, Kiyoshi; Akao, Santaro; Matsumoto, Tatsuji;

Suzuki, Shigeo; Suzuki, Masuko

```
Department of Applied Chemistry, Faculty of
CORPORATE SOURCE:
                          Engineering, and High Technology Research Center,
                          Kansai University, Suita, 564-8680, Japan
                          Reactive & Functional Polymers (1998), 37(1-3),
SOURCE:
                          235-244
                          CODEN: RFPOF6; ISSN: 1381-5148
                          Elsevier Science B.V.
PUBLISHER:
DOCUMENT TYPE:
                          Journal
LANGUAGE:
                          English
     \alpha A-1,4- Polygalactosamine (PGA) purified from the culture
     fluid of Paecilomyces sp. I-II strain and N-acetylated \alpha-1,4-polygalactosamine (NAPGA) are chitosan- and chitin-like
     biodegradable, compatible \alpha-1,4-linked polysaccharides, resp.
     Partially N-acetylated PGA was found to show the stronger binding activity
     onto MH134Y hepatoma cells than three kinds of normal lymphocytes, bone
     marrow, T and B cells from the results of binding assay of 14C-50%
     N-acetylated PGA in vitro. Since PGA and NAPGA have the unreducing end
     groups of galactosamine and N-acetyl galactosamine, resp., they were suggested to exhibit the receptor-mediated affinities to hepatoma cells.
     In order to provide the lysosomotropic macromol. prodrug of fluorouracil
     (5FU) having a targeting ability to hepatoma, we synthesized water-soluble
     6-O-carboxymethyl-NAPGA-immobilized 5FUs through Gly-Phe-Leu-Gly,
     monomethylene spacer groups. The obtained conjugate showed the
     cathepsin-B-susceptible release behavior of 5FU and then exhibited the
     stronger cytotoxic activity than free 5FU against HLE hepatoma cells in
     vitro.
REFERENCE COUNT:
                          17
                                THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L11 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          1997:533553 CAPLUS
DOCUMENT NUMBER:
                          127:195553
TITLE:
                          Polysaccharides as protectants in \gamma-ray
                          sterilization of biologically active agents
INVENTOR (S):
                          Onodera, Hirokazu; Suemitsu, Junsuke
PATENT ASSIGNEE(S):
                          Asahi Medical Co., Ltd., Japan; Onodera, Hirokazu;
                          Suemitsu, Junsuke
SOURCE:
                          PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          Japanese
FAMILY ACC. NUM. COUNT: PATENT INFORMATION:
     PATENT NO. KIND DATE
     PATENT NO.
                                            APPLICATION NO. DATE
                                             -----
                                                              -----
     WO 9727878
                       A1 19970807
                                            WO 1997-JP269 19970204
         W: CA, JP, US
         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     CA 2245744
                 AA 19970807
                                            CA 1997-2245744 19970204
     CA 2245744
                       C
                            20020312
                           19990107
20030702
     EP 888779
                       A1
                                            EP 1997-901831
                                                             19970204
     EP 888779
                       B1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     AT 244024
                             20030715
                                            AT 1997-901831
                                                              19970204
     US 2002044884
                       A1
                             20020418
                                             US 1998-117691
                                                              19980804
     US 6572820
                       B2
                             20030603
PRIORITY APPLN. INFO.:
                                         JP 1996-40297
                                                           A 19960205
                                         WO 1997-JP269
                                                           W 19970204
     Polysaccharides made up of three or more monosaccharide mols. and having a
     pos. charge, are bound to a multiporous material and used as a protectant
     for sterilization of proteins and/or peptides which show compatibility to
     antibodies and antigens of blood cell surface. A polystyrene nonwoven
     fabric was treated with a mixture containing N-hydroxymethyltribromoacetamide,
     sulfolan, and trifluoromethanesulfonic acid and anti-human CD4 monoclonal
     antibody and chitosan were immobilized on the activated fabric
     to obtain a filtering material. The above material was filled into a
     column, which was irradiated with \gamma-ray. ACD-A blood was passed
     through a column; antigen CD-4 cells were removed by 90 %.
L11 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          1996:554316 CAPLUS
```

DOCUMENT NUMBER:

TITLE:

125:329272

Formation of a DNA/polygalactosamine complex

and its interaction with cells

10/058,920 Sato, Toshinori; Shirakawa, Nobuaki; Nishi, Hirotaka; AUTHOR (S) : Okahata, Yoshio CORPORATE SOURCE: Dep. of Biomolecular Eng., Tokyo Inst. of Technol., Yokohama, 226, Japan Chemistry Letters (1996), (9), 725-726 CODEN: CMLTAG; ISSN: 0366-7022 SOURCE: PUBLISHER: Nippon Kagakkai DOCUMENT TYPE: Journal LANGUAGE: English DNA complexes with naturally occurring polysaccharides, polygalactosamine or chitosan, were formed in water. Thermal profiles, CD spectrum, zeta-potentials, and cell uptake were investigated. The DNA/polygalactosamine complex showed higher cell uptake than DNA/chitosan complex did. L11 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1996:551476 CAPLUS DOCUMENT NUMBER: 125:193481 TITLE: Preparation of anti-carbohydrate antibody for quantification of trace carbohydrate INVENTOR (S): Takiguchi, Yasuyuki; Hachiman, Takeshi; Chiba, Tooru PATENT ASSIGNEE(S): Shinetsu Chemical Industry Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 9 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE JP 08193100 A2 19960730 JP 1995-88935 19950414 JP 1994-281584 PRIORITY APPLN. INFO.: 19941116 Disclosed are anti-carbohydrate antibodies raised by injecting carbohydrate-protein conjugates into bird, mammal, fish, or other vertebrate animal and harvesting antibodies from body fluid or egg. The raised antibodies are labeled and used for immunoassay of carbohydrate. In example, chitosan hexamer conjugated with bovine serum albumin or ovalbumin was prepared, combined with adjuvant, and s.c. injected to chicken, and antibodies were obtained from eggyolk. The antibodies were used for quantitating chitosan. Similarly, antibodies to polygalactosamine were prepared and immunoassay was performed. L11 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1996:415926 CAPLUS TITLE: A lysosomal release type of macromolecular prodrug of doxorubicin using N-acetylpolygalactosamine as a targeting carrier to hepatoma. AUTHOR(S): Ouchi, T.; Tada, M.; Ohya, Y.; Matsumoto, T.; Suzuki, S.; Suzuki, M. CORPORATE SOURCE: Faculty Engineering, Kansai University, Suita, 564, SOURCE: Book of Abstracts, 212th ACS National Meeting, Orlando, FL, August 25-29 (1996), POLY-159. American Chemical Society: Washington, D. C. CODEN: 63BFAF DOCUMENT TYPE: Conference; Meeting Abstract LANGUAGE: English Since polygalactosamine (PGA) and N-acetyl polygalactosamine (NAPGA) purified from the culture fluid of Paecilomyces sp.I-1 are chitosan-and chitin-like  $\alpha$ -1,4-linked polysaccharides. Partially N-acetylated PGA was found to show stronger binding activity to the hepatoma tumor cells than three kinds of normal lymphocytes, bone marrow, T and B cells from the results of binding assay of 14C-50% acetylated PGA in vitro. High radioactivities were recognized in the liver, kidneys and hepatoma tumor, compared with other organs from results of measurement of body distribution of 14C-50% acetylated PGA. Thus, NAPGA was suggested to exhibit receptor-mediated affinity to hepatoma cells. So, CM-MAPGA/Gly-Phe-Leu-Gly/DXR conjugate was synthesized through the coupling reaction of CM-NAPGA with H-Gly-Phe-Leu-Gly-DXR. CM-NAPGA/tetrapeptide/DXR conjugate was found to

L11 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1995:951346 CAPLUS DOCUMENT NUMBER:

123:343990

control conjugate.

exhibit remarkably higher survival effect against mice bearing MH 134Y hepatoma, compared with free DXR and CM-NAPGA/C5/DXR conjugate as a

```
Fine porous polysaccharide particles and their use in
TITLE:
                          cosmetics
INVENTOR(S):
                          Hasebe, Yoshihiro; Sawada, Michitaka; Furukawa,
                          Makoto; Nakayama, Takako; Kodama, Kenji; Ito, Yasushi;
                          Nakamura, Genichi; Fukumoto, Yasuhisa
PATENT ASSIGNEE(S):
                          Kao Corp., Japan
                          PCT Int. Appl., 75 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
     WO 9525752
                       A1 19950928
                                             WO 1995-JP489
                                                               19950317
         W: CN, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                      A2 19951121
A2 19951205
     JP 07304643
                                             JP 1995-39774
                                                               19950228
     JP 07316203
                                             JP 1995-41749
                                                               19950301
     JP 3059071
                       B2
                             20000704
     CN 1148857
                             19970430
                        Α
                                             CN 1995-193128 19950317
     CN 1078891
                       В
                             20020206
                      A1
     EP 803513
                             19971029
                                             EP 1995-912468
                                                             19950317
     EP 803513
                       B1
                             20020703
         R: DE, ES, FR, GB
     TW 419484
                             20010121
                                             TW 1995-84102679 19950320
                       В
     US 5770187
                                             US 1996-702699 19960913
                             19980623
                        Α
     CN 1380054
                        Α
                             20021120
                                             CN 2001-133970
                                                               20010815
     CN 1383810
                        Α
                             20021211
                                             CN 2001-133963
                                                               20010815
PRIORITY APPLN. INFO.:
                                          JP 1994-48792 A 19940318
                                                         A 19940331
A 19950228
                                          JP 1994-62401
                                          JP 1995-39774
                                          JP 1995-41749
                                                           A 19950301
                                          JP 1995-42012
                                                            A 19950301
                                          WO 1995-JP489
                                                            W 19950317
     The title amphoteric particles which can adsorb acids and bases having,
     resp., an acidity and a basicity stronger than those of the acidic and
     basic groups of the particles themselves, have an average diameter of \leq 50
     µm and are useful as deodorants for cosmetic formulation, etc. The
     particles comprise a basic polysaccharide (I) and a polymer of an unsatd.
     organic acid (II) (e.g. methacrylic acid) or its salt, and are produced by emulsifying or suspending an aqueous solution of I and II in a hydrophobic
     solvent followed by polymerization A deodorant was prepared which contained fine
     chitosan particles having an average diameter of 0.01-50~\mu\text{m}, especially fine
     chitosan particles having an available amino content of 1.0
     + 10-7-1.0 t 10-2 mol/g and a sp. surface area of 10-300 m2/g.
     useful oil component of the deodorant is a polysiloxane having long-chain
     alkyl groups and m.p. of 20° or above.
L11 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          1995:863596
                                       CAPLUS
DOCUMENT NUMBER:
                          123:250681
TITLE:
                          Sulfonyl and carboxyl group-containing cyanine dyes
                          for labeling amino group-containing test reagent
INVENTOR (S):
                          Shimada, Kenichi; Yano, Hideki
PATENT ASSIGNEE(S):
                          Ibiden Co Ltd, Japan
SOURCE:
                          Jpn. Kokai Tokkyo Koho, 7 pp.
                          CODEN: JKXXAF
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
                      ----
     JP 07191029
                      A2 19950728
                                            JP 1993-350851
                                                              19931227
     JP 3294415
                       B2 20020624
PRIORITY APPLN. INFO.:
                                         JP 1993-350851
                                                              19931227
     Water soluble cyanine dyes containing sulfonyl and carboxyl groups are used to
     label amino group-containing test reagent, such as chitosan,
     sulfonyl chitosan, polygalactosamine, polyneuraminic
     acid, antibody, avidin, and protein A. In example, NK3682, NK3942 and NK 3759 were used for labeling antibodies for detecting anti-amylase
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L11 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1995:494744 CAPLUS

antibody, and C reactive protein resp.

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DOCUMENT NUMBER:
TITLE:
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122:237762

O-alkylaminoglycan complexed with label and antigen or

antibody for immunoassay

INVENTOR (S): PATENT ASSIGNEE(S): Shimada, Kenichi; Ooe, Kazue; Yano, Hideki

Ibiden Co Ltd, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF Patent

DOCUMENT TYPE: LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----JP 07035749 A2 19950207 JP 1993-200405 19930719 PRIORITY APPLN. INFO.: JP 1993-200405 19930719 O-alkylaminoglycan-immunoreactive substance-label complexes is disclosed for immunoassay. The immunoreactive complexes is optionally bound to avidin or biotin as immunoassay reagent. In example, O-Et chitosan was prepared and conjugated with NK1160 and anti-human IgG antibody, and used with optical fiber-immobilized amylase (or amylase sensor) for anti-amylase antibody determination Also O-Et chitosan-NK 1160-avidin and sensor containing biotinylated anti-calcitonin antibody were prepared and used for determination of calcitonin.

L11 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1995:490182 CAPLUS

TITLE:

Manufacture of antimicrobials by treatment of

polysaccharides by radiation and the antimicrobials Kume, Tamikazu; Matsuhashi, Shinpei; Hashimoto, Shoji

PATENT ASSIGNEE(S):

Japan Atomic Energy Res Inst, Japan Jpn. Kokai Tokkyo Koho, 5 pp.

INVENTOR(S): SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

122:233354

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 JP 07025772 19950127 JP 1993-170534 19930709 PRIORITY APPLN. INFO.: JP 1993-170534 19930709

Antimicrobials are manufactured by treatment of polysaccharides with ionizing radiation. Chitosan was irradiated by an electron beam at 500 kGy, the treated chitosan was dissolved in aqueous AcOH, and the solution was adjusted to pH 6.0 to give aqueous 0.1% chitosan solution, which totally controlled Escherichia coli for 47 h. The untreated chitosan gave a lesser effect. The chitosan solution also controlled Fusarium oxysporum for 7 days.

L11 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1995:231283 CAPLUS 122:155734

TITLE:

Conjugates of multiple functional group-containing

high mol. weight substance and cyanine dye as label for

immunoassay

INVENTOR(S): Ooe, Kazue; Shimada, Kenichi; Sakai, Yasushi

PATENT ASSIGNEE (S):

Ibiden Co Ltd, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Pat.ent. Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----JP 06222059 A2 19940812 JP 1993-34475 19930128 JP 3176163 B2 20010611 JP 1993-34475 19930128

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 122:155734

Disclosed is a fluorescent label for immunoassay derived from coupling of cyanine dye and a high mol. weight substance containing multiple hydrophilic functional groups. The multiple hydrophilic functional group-containing high mol. weight substance is avidin-biotin, protein A or Ig-ligand, aminoglycan, or other polypeptide binding pair. In example, NK3682-modified avidin were prepared, anti-amylase antibody were immobilized on optical fiber

through biotinylated chitosan, and both were used for immunoassay of amylase.

121:308126

L11 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1994:708126 CAPLUS

DOCUMENT NUMBER: TITLE:

Release behavior of 5-fluorouracil from chitosan-gel microspheres modified chemically

and their antitumor activities

AUTHOR (S):

Ouchi, T.; Shiratani, M.; Kobayashi, H.; Takei, T.; Ohya, Y.

CORPORATE SOURCE:

Faculty of Engineering, Kansai University, Suita, 564,

SOURCE:

Biotechnol. Bioact. Polym., [Proc. Am. Chem. Soc. Symp.] (1994), Meeting Date 1992, 289-96. Editor(s): Gabelein, Charles G.; Carraher, Charles E., Jr. Plenum: New York, N. Y. CODEN: 60QOAU

DOCUMENT TYPE:

Conference

LANGUAGE:

English

In order to provide a device which releases 5-fluorouracil (5FU) in a controlled manner and has targetability to the specific organ cells, chitosan-gel microspheres immobilizing 5FU derivs. (aminopentyl-carbamoyl-5FU, aminopentyl-ester-methylene-5FU) coated with polysaccharides or lipid multilayers were prepared The chitosan -gel microspheres cross-linked with glutaraldehyde (MS(CM)) were obtained by applying emulsion method using an ultrasonicator. The  ${\tt MS}({\tt CM})\,{\tt s}$  were coated with polyanionic polysaccharides, such as CM-N-acetyl- $\alpha$ -1,4polygalactosamine, CM-chitin and hyaluronic acid, by formation of polyelectrolyte complex membrane to give MS(CMG), MS(CMC) and MS(CMH), resp. Moreover, MS(CML) was obtained by coating MS(CM) with dipalmitoyl phosphatidylcholine (DPPC) multilayer. The release rate of 5FU from the MS(CM) was depressed by immobilization of 5FU derivs. into MS(CM) via covalent bonds and by coating with polysaccharide or DPPC multilayer at 37°C. The temperature-sensitive release behavior of 5FU from MS(CM) was achieved between 37°C and 42°C by coating with DPPC multilayer. Moreover, MS(CML-CM-Poly(GalNAc)) and MS(CML-Lac), MS(CMG) immobilizing 5FU derivs. showed the cell specific cytotoxicities against SK-Hep-1 human hepatoma cells and HLE human hepatoma cells in vitro, resp.

L11 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1994:412123 CAPLUS 121:12123

DOCUMENT NUMBER: TITLE:

An examination of the unusual susceptibilities of

aminoglycans to enzymic hydrolysis

AUTHOR (S): CORPORATE SOURCE: Yalpani, Manssur; Pantaleone, David The NutraSweet Co., Research and Development 601 East

Kensington Road, Mount Prospect, IL 60056, USA

Carbohydrate Research (1994), 256(1), 159-75

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE:

SOURCE:

Journal

LANGUAGE: English

The hydrolytic susceptibilities of aminoglycans, including chitosan (I), chitin (II), water-soluble II, II azure (dye-modified), and  $\alpha$ -(1 $\rightarrow$ 4)-poly(galactosamine), to a series of com. enzyme prepns. were examined An unexpectedly large number of enzyme prepns. gave rise to varying degrees of aminoglycan hydrolysis. Remarkably, several of these enzyme prepns. displayed lytic activities towards I that equaled or surpassed those of established catalysts with chitosanolytic activities, e.g. chitinase (III) and lysozyme (IV). Thus, based on their dose-response profiles, a number of proteinases, e.g. pepsin, bromelain, ficin, and pancreatin, were more efficient catalysts for I hydrolysis than a com. III (Serratia marcescens) and IV preparation For a cellulase, hemicellulase, lipase, and proteinase, evidence was obtained that strongly suggested the absence of a common lytic agent. Thus, different profiles were observed when the lytic activities of these enzyme prepns. were examined in terms of their pH and temperature optima, susceptibilities to substrate concentration and the degree of substrate N-acetylation, and their mol. weight fractions. Similarly, distinctions in hydrolytic efficacy emerged for several enzyme prepns., when I solns. were subjected to 2 simultaneous or sequential enzyme treatments. I hydrolysis was also observed upon treatment with human salivary prepns. Preparative-scale hydrolysis of I was performed with papain and hemicellulase prepns. at pH 3.0 and 40°. The results demonstrated the feasibility of hydrolyzing I, II, and other aminoglycans with several low-cost enzymes.

DOCUMENT NUMBER:

121:4521

TITLE:

Aminoglycan sulfate esters for labeling

INVENTOR(S):

immuno-substance for immunoassay Shimada, Kenichi; Ooe, Kazue; Sakai, Yasushi; Yano,

Hideki

PATENT ASSIGNEE(S):

Ibiden Co Ltd, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

JP 06109731

A2 19940422

JP 1993-199102 19930716

PRIORITY APPLN. INFO.:

JP 1992-238974

19920813

Aminoglycan sulfate esters is disclosed for labeling immuno-substances (e.g. antibody) for immunoassay. Thus, chitosan sulfate ester

was prepared, conjugated with a goat anti-human IgG antibody and NK 1160 (a cyanine dye), and used for determination of antibody to amylase of human pancreas origin. Similarly, a biotinylated polygalactosamine sulfate

ester and an avidin-conjugated NK1160 were prepared and linked to a rabbit anti-human calcitonin antibody which is immobilized on a solid support in a biosensor for calcitonin determination

L11 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1994:86255 CAPLUS

DOCUMENT NUMBER:

TITLE:

Release behavior of 5-fluorouracil from

chitosan-gel nanospheres immobilizing

5-fluorouracil coated with polysaccharides and their cell specific cytotoxicity

AUTHOR (S):

Ohya, Yuichi; Shiratani, Masahiro; Kobayashi, Hironao; Ouchi, Tatsuro

CORPORATE SOURCE:

Fac. Eng., Kansai Univ., Suita, 564, Japan

SOURCE:

Journal of Macromolecular Science, Pure and Applied Chemistry (1994), A31(5), 629-42

CODEN: JSPCE6; ISSN: 1060-1325

DOCUMENT TYPE:

Journal

LANGUAGE:

English Small-sized chitosan-gel nanospheres, CNS (average diameter 250 nm),

containing 5-fluorouracil (5FU) or immobilizing 5FU derivs. (aminopentylcarbamoy1-5FU or aminopentyl-ester-methylene-5FU) were prepared by the glutaraldehyde crosslinking technique and the emulsion method.

When chitosan was crosslinked with glutaraldehyde, these 5FU derivs. were simultaneously immobilized to CNS by means of Schiff's base

formation. The CNSs were coated with anionic polysaccharides, such as

 $\texttt{6-O-carboxymethyl-N-acetyl-} \alpha \textbf{-1,4-polygalactosamine}/\texttt{Na}$ (CM-NAPGA/Na), 6-O-carboxymethyl-chitin/Na (CM-chitin/Na), and sodium

hyaluronate, through formation of a polyelectrolyte complex membrane to

give CNS/polyanion, i.e., CN/G, CNS/C, and CNS/H, resp. The polyelectrolyte complex of polysaccharide was employed to achieve the controlled release and effective targeting of 5FU by the CNSs. The release rate of 5FU from the CNSs could be controlled by immobilization of

5FU, degree of deacetylation of chitosan used and coating with polysaccharides. Since very few galactosamine residues are known to be able cross-react with ligands for galactose, the galactosamine residues on

the surface of CNS/Gs are expected to act as the targeting moieties for hepatocyte. The CNS/G showed the lectin-mediated aggregation phenomenon by the addition of APA lectin. Moreover, CNS/G had the highest cytotoxic activity among the three kinds of CNS/polyanion and CNS in HLE human

hepatoma cell culture system in vitro.

ACCESSION NUMBER:

L11 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN 1993:525275 CAPLUS

DOCUMENT NUMBER:

119:125275

TITLE:

Water-insoluble biocompatible hyaluronate and polyion

complex and method of making the same

INVENTOR(S):

Uragami, Tadashi; Tanaka, Yoshiaki; Nishida, Shinji

PATENT ASSIGNEE(S):

Lignyte Co., Ltd., Japan Pat. Specif. (Aust.), 39 pp.

SOURCE:

DOCUMENT TYPE:

CODEN: ALXXAP

LANGUAGE:

Patent

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ----
                           19930429
                                          AU 1992-29626
                                                           19921125
     AU 636544
                      B1
                     A1
                          19930602
                                          EP 1992-120096 19921125
     EP 544259
        R: DE, FR, GB, NL
     JP 06073103
                    A2 19940315
                                          JP 1992-316735 19921126
PRIORITY APPLN. INFO.:
                                       JP 1991-312236
                                                           19911127
AB The title complex is prepared by reacting an alkali metal salt of hyaluronic
     acid with a high-mol. compound having amino or imino groups in the presence
     of an organic acid as a material for an artificial internal organ (no data).
     Thus, Na hyaluronate and chitosan were reacted in a formic acid
     solution to give a polyion complex, from which a water-insol. film was
     obtained.
    ANSWER 23 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                        1993:496519 CAPLUS
DOCUMENT NUMBER:
                        119:96519
TITLE:
                        Functionalized biodegradable poly(hydroxyalkanoates)
                         and method of manufacturing same
INVENTOR(S):
                        Yalpani, Manssur
PATENT ASSIGNEE(S):
                        USA
SOURCE:
                        U.S., 9 pp.
                         CODEN: USXXAM
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ----
     US 5191016
                           19930302
                                          US 1990-554338
                                                           19900719
                                          US 1992-973730
     US 5268422
                           19931207
                                                           19921109
                     Α
PRIORITY APPLN. INFO.:
                                       US 1990-554338
                                                           19900719
     The title polymers YO[[CHR1(CH2)1COO]m[CHR2(CH2)rCOO]n]qCHR3(CH2)pA(X-Z)
     (A = CO, CH2; R1-3 = H, C1-9 alkyl or alkenyl, aromatic moiety; X = O, NH; Y
     = H, saccharide or alkenyl moiety having mol. weight 25-100,000; Z = H,
     saccharide, alkyl or alkenyl moiety having mol. weight 25-100,000 given that
     if Y is H, Z is not H; 1, r, p = 1-3; m, n = 1-5; q = 5-10,000) are prepared
     Thus, stirring 1 part cellulose triacetate with 4.1 parts hydrolyzed
     poly(3-hydroxybutyric acid) in 45 parts 1:14 AcOH-Me2SO mixture gave a
     product with good film-forming properties.
L11 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                        1993:473324 CAPLUS
DOCUMENT NUMBER:
                        119:73324
TITLE:
                        Method and agents for preventing scale deposition in
                        polymerization reactors
INVENTOR (S):
                        Shimizu, Toshihide; Sato, Takanori
PATENT ASSIGNEE(S):
                        Shin-Etsu Chemical Industry Co., Ltd., Japan
                        Jpn. Kokai Tokkyo Koho, 7 pp.
SOURCE:
                        CODEN: JKXXAF
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO.
                   KIND DATE
                                          APPLICATION NO. DATE
     JP 04339803
                     A2 19921126
                                          JP 1991-165022 19910610
PRIORITY APPLN. INFO.:
                                      JP 1990-208554
                                                           19900807
    Scale deposition is prevented in polymerization reactors in the polymerization of vinyl
     monomers by coating the inner walls of the reactors with water-soluble basic
     polysaccharides and water-soluble anionic polymers. Thus, a stainless steel
     polymerization reactor was coated with chitosan and poly(acrylic acid)
     ammonium salt and used in the suspension polymerization of vinyl chloride to give
     PVC with Hunter Color L-value 73 and scale deposition 1 g/m2, vs. 73, and
     1300, resp., using reactors without coatings.
L11 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                        1993:450116 CAPLUS
```

Method and agents for preventing scale deposition in

Shin-Etsu Chemical Industry Co., Ltd., Japan

DOCUMENT TYPE: Patent

119:50116

CODEN: JKXXAF

polymerization reactors

Shimizu, Toshihide; Sato, Takanori

Jpn. Kokai Tokkyo Koho, 7 pp.

DOCUMENT NUMBER:

PATENT ASSIGNEE(S):

INVENTOR (S):

TITLE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ -----JP 04339804 A2 19921126 JP 2522732 B2 19960807 JP 1991-165023 19910610 JP 2522732

PRIORITY APPLN. INFO.: JP 1990-208555 19900807

Scale deposition is prevented in polymerization reactors in the polymerization of vinyl monomers by coating the inner walls of the reactors with water-soluble basic polysaccharides and proteins. Thus, a stainless steel polymerization reactor was coated with chitosan and glutenin and used in the suspension polymerization of vinyl chloride to give PVC with Hunter Color L-value 72 and scale deposition 3 g/m2, vs. 73, and 1300, resp., using reactors without the coatings.

L11 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:434186 CAPLUS

DOCUMENT NUMBER:

119:34186

TITLE: Release behavior of 5-fluorouracil from chitosan gel microspheres immobilizing

5-fluorouracil derivative coated with polysaccharides

and their cell specific recognition

AUTHOR (S): Ohya, Y.; Takei, T.; Kobayashi, H.; Ouchi, T. Fac. Eng., Kansai Univ., Suita, 564, Japan CORPORATE SOURCE: Journal of Microencapsulation (1993), 10(1), 1-9 SOURCE:

CODEN: JOMIEF; ISSN: 0265-2048

DOCUMENT TYPE: Journal

LANGUAGE: English

In order to provide a device releasing drugs in a controlled manner and having targetability to specific organs or cells, chitosan gel microspheres (CMS), crosslinked with glutaraldehyde, immobilizing 1-[N-(5-aminopentyl)carbamoyl]-5-fluorouracil (I) coated with anionic polysaccharides, such as 6-0-carboxymethyl-N-acetyl- $\alpha$ -1,4polygalactosamine (CM-NAPGA), 6-0-carboxymethylchitin, alginic acid and heparin, by polyelectrolyte complex membrane formation, were prepared When chitosan was crosslinked with glutaraldehyde, I was simultaneously immobilized into CMS by Schiff's base formation. Average diameter of CMS obtained was estimated to be about 0.5-1.0 µm by SEM observation. In physiol. saline media, only free 5-FU was released from the CMS but I and any 5-FU derivative was not. Release rate of 5-FU from the CMS was reduced by coating with polyelectrolyte complex membrane of cationic chitosan and anionic polysaccharides. CMS coated with CM-NAPGA showed a lectin-mediated specific aggregation phenomenon by addition of Abrus precatorius agglutinin. Moreover, the CMS immobilizing I coated with CM-NAPGA showed higher growth-inhibitory effect against SK-Hep-1 (human hepatoma) cells in vitro than the CMS coated with other polysaccharides.

L11 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:27916 CAPLUS

DOCUMENT NUMBER: 116:27916

TITLE: Design of polysaccharide-5-fluorouracil conjugates

exhibiting antitumor activities

Ouchi, T.; Banba, T.; Huang, T. Z.; Ohya, Y. AUTHOR (S): CORPORATE SOURCE: Fac. Eng., Kansai Univ., Suita, 564, Japan

SOURCE: ACS Symposium Series (1991), 469 (Polym. Drugs Drug

Delivery Syst.), 71-83

CODEN: ACSMC8; ISSN: 0097-6156

DOCUMENT TYPE: Journal LANGUAGE: English

ranges.

In order to provide a macromol. prodrug of 5-fluorouracil (5FU) with reduced side-effects, having affinity for tumor cells and exhibiting a high antitumor activity, the design of polysaccharide-5FU conjugates was investigated. Chitin-5FU, chitosan-5FU,  $\alpha$ -1,4polygalactosamine-5FU, partially N-acetylated  $\alpha$ -1,4-polygalactosamine-5FU, hyaluronic acid-5FU, and dextran-5FU conjugates exhibited significant survival effect against p388 lymphocytic leukemia in mice by i.p. transplantation/i.p. injection. Chitosan -5FU, chitosamino-oligosaccharide-FU, and galactosamino-oligosaccharide-5FU conjugates showed higher growth-inhibitory effects against MH134Y hepatoma and Meth-A fibrosarcoma in mice than 5FU, chitin, oligosaccharides and their blends by s.c. implantation/i.v. injection. The obtained conjugates did not display an acute toxicity in the high dose

L11 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1991:680745 CAPLUS

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DOCUMENT NUMBER:
                           115:280745
                           Synthesis of poly(3-hydroxyalkanoate) conjugates;
TITLE:
                           PHA-carbohydrate and PHA-synthetic polymers
AUTHOR(S):
                           Yalpani, Manssur; Marchessault, Robert H.; Morin,
                           Frederick G.; Monasterios, Clevys J.
                           Pulp Pap. Res. Cent., McGill Univ., Montreal, QC, H3A
CORPORATE SOURCE:
                           2A3, Can.
                           Polymer Preprints (American Chemical Society, Division
SOURCE:
                           of Polymer Chemistry) (1991), 32(3), 224-5
                           CODEN: ACPPAY; ISSN: 0032-3934
DOCUMENT TYPE:
                           Journal
LANGUAGE .
                           Enalish
     Polymer conjugates were prepared by the partial depolymn. of
     poly(β-hydroxybutyrate) in the presence of chitosan,
     cellulose acetate, and poly(galactosamine).
L11 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1991:675300 CAPLUS
DOCUMENT NUMBER:
                           115:275300
TITLE:
                           Antimicrobial action of chitin. Chitosan,
                           and related compounds and its application
AUTHOR(S):
                           Uchida, Yasushi
                           Fac. Agric., Saga Univ., Saga, 840, Japan
CORPORATE SOURCE:
                           Kagaku Kogyo (1991), 42(10), 793-9
CODEN: KAKOAY; ISSN: 0451-2014
SOURCE:
DOCUMENT TYPE:
                           Journal; General Review
LANGUAGE:
                           Japanese
     A review, with 17 refs., on the author's recent studies concentrating antimicrobial activity of chitin, chitosan, and
     polygalactosamine, and their practical application to food
     preservative and pesticide.
L11 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1991:608795 CAPLUS
DOCUMENT NUMBER -
                           115:208795
TITLE:
                           Synthesis of poly(3-hydroxyalkanoate) (PHA)
                           conjugates: PHA-carbohydrate and PHA-synthetic
                           polymer conjugates
AUTHOR(S):
                           Yalpani, Manssur; Marchessault, Robert H.; Morin,
                           Frederick G.; Monasterios, Clevys J.
CORPORATE SOURCE:
                           Pulp and Paper Res. Cent., Montreal, QC, H3A 2A3, Can.
                           Macromolecules (1991), 24(22), 6046-9
CODEN: MAMOBX; ISSN: 0024-9297
SOURCE:
DOCUMENT TYPE:
                           Journal
LANGUAGE:
                           English
     Poly(3-hydroxybutyrate) (I) graft copolymers with chitosan (II),
     cellulose acetate, poly(\alpha-1,4-galactosamine), and poly(ethylenimine), and reaction products with D-glucamine were prepared by
     modifications of the terminal carboxyl function of I. Low-mol.-weight I was
     attached by amidation and esterification reactions to carbohydrate and
     synthetic polymers, yielding new types of branched conjugates. A
     surprisingly low level of I attachment to II led to alterations in the
     properties of the native materials. The I graft copolymer with
     water-insol. II formed viscous, opaque aqueous solns. DSC thermograms of the
     graft copolymer revealed melt transition (Tm) values of 150° and
     105°, compared to Tm of 173° and 116° for the resp.
     native polymer constituents.
L11 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                          1991:488825 CAPLUS
DOCUMENT NUMBER:
                           115:88825
                           Apparatus and method using a reagent complex
TITLE:
                           containing fluorochromes and reactive
                           groups-containing optical fiber for assaying
                           biologically active substance
                          Kobayashi, Takeshi; Honda, Hiroyuki; Shimada, Kenichi
Ibiden Co., Ltd., Japan
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
                           PCT Int. Appl., 83 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9013029 A1 19901101 WO 1990-JP514 19900419
W: JP, US

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19990920
                                               JP 1990-506243
     JP 2951398
                         B2
                                                                 19900419
                              19950328
                                               US 1994-238782
     US 5401469
                         Α
                                                                 19940506
     JP 2000009732
                         A2
                              20000114
                                               JP 1999-68358
                                                                  19990315
                               20010131
     JP 3130513
PRIORITY APPLN. INFO.:
                                            JP 1989-97481
                                                              A 19890419
                                            JP 1989-97482
                                                              A 19890419
                                                              A 19890720
                                            JP 1989-185893
                                            JP 1989-314404
                                                              A 19891205
                                            JP 1990-506243
                                                              A3 19900419
                                            WO 1990-JP514
                                                              W 19900419
                                            US 1990-623456
                                                              B1 19901218
                                            US 1992-997668
                                                             B1 19921228
OTHER SOURCE(S):
                           MARPAT 115:88825
      (1) A reagent prepared by complexing fluorochromes (e.g. coumarin derivative)
      and a reactive groups-containing compound (e.g. aminoglycan) conjugated with
     analyte (or analyte-binding substance) through a pair of crosslinking compound, e.g. avidin-biotin, and (2) an optical fiber (resin) having its surface functional group (e.g. NH2) linked to analyte-binding substance
      (or analyte) are used to determine biol. active substance, e.g. antigen,
      antibody, etc., in medical diagnosis of diseases. Thus, for determining
     anti-mouse IqG antibody, biotin and anti-IqG antibody were attached to
     chitosan; fluorochrome NK 1160 was attached to avidin via
     dicyclohexylcarbodiimide; and mouse IgG was immobilized on optical fiber
     made of poly(Me methacrylate). Upon assay, the IgG-immobilized optical
     fiber sensor was sequentially immersed in solns. containing (a) anti-mouse IgG
     antibody of known concentration, (b) anti-mouse IgG antibody-chitosan
     -biotin conjugate, and (c) NK1160-avidin conjugate. The fluorescence and anti-mouse IgG antibody concns. were determined The sensitivity reached 1.2 + 10-4 mg/mL. The method is sensitive, rapid, simple. An apparatus
     consisting of an optical fiber, a core surface, a clad layer, a flow cell,
     a fluorometer, etc. for the assay is presented.
L11 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1991:35520 CAPLUS
DOCUMENT NUMBER:
                           114:35520
TITLE:
                           Design of polysaccharide-5-fluorouracil conjugates
                           exhibiting antitumor activities
                           Ouchi, T.; Banba, T.; Huang, T. Z.; Ohya, Y.
AUTHOR (S):
CORPORATE SOURCE:
                           Fac. Eng., Kansai Univ., Osaka, 564, Japan
SOURCE:
                           Polymer Preprints (American Chemical Society, Division
                           of Polymer Chemistry) (1990), 31(2), 202-3
                           CODEN: ACPPAY; ISSN: 0032-3934
DOCUMENT TYPE:
                           Journal
LANGUAGE:
                           English
    5-Fluorouracil (5-FU) conjugates with chitin, chitosan,
     \alpha-1,4-polygaluctosamine; N-acetyl-\alpha-1,4-
     polygalactosamine, hyaluronic acid, and dextran were prepared and
     the 1st 4 conjugates showed higher survival effects than free 5-FU against
     p-388 leukemia in mice. The hyaluronic and dextran conjugates also showed
     survival effects. The effect increased with increasing degree of
     substitution of 5-FU units per sugar unit.
L11 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1991:22482 CAPLUS
DOCUMENT NUMBER:
                           114:22482
TITLE:
                           Polygalactosamine-degrading enzyme A-4
                           manufacture with Bacillus
INVENTOR(S):
                           Uchida, Yasushi
PATENT ASSIGNEE(S):
                           Higeta Shoyu Co., Ltd., Japan
                           Jpn. Kokai Tokkyo Koho, 7 pp.
SOURCE:
                           CODEN: JKXXAF
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
     JP 02190185
                        A2
                              19900726
                                              JP 1989-6013
                                                                 19890117
PRIORITY APPLN. INFO.:
                                           JP 1989-6013
                                                                 19890117
    The title enzyme (I) is manufactured by culturing Bacillus. I degrades
     polygalactosamine to give oligogalactosamines, which have physiol.
     activity, but has no activity against polyhexose, chitin, and
     chitosan. Bacillus A-4 was shake-cultured for 72 h at 30°
     in medium containing glucose, yeast extract, peptone, etc. From 15 L culture
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broth, I 25 g was recovered by (NH4)2SO4 precipitation and chromatogs. Enzymic characteristics of I and physiol. and morphol. characteristics of Bacillus

A-4 were given.

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L11 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
                            1990:631880 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                            113:231880
                            Conformational difference between chitosan
TITLE:
                            and poly-(1 \rightarrow 4)-\alpha-D-galactosamine
AUTHOR(S):
                            Ogawa, Kozo; Tanaka, Fumio; Okamura, Keizo
CORPORATE SOURCE:
                            Radiat. Cent. Osaka Prefect., Sakai, 593, Japan
                            Chitin Chitosan: Sources, Chem., Biochem., Phys. Prop. Appl., [Proc. Int. Conf.], 4th (1989), Meeting Date 1988, 501-10. Editor(s): Skjaak-Braek, Gudmund;
                            Anthonsen, Thorleif; Sandford, Paul A. Elsevier:
                            London, UK.
                            CODEN: 56VDAH
DOCUMENT TYPE:
                            Conference
LANGUAGE:
                            English
     A symposium report on the conformational difference between
      (1\rightarrow 4)-linked polysaccharides of \alpha- and \beta-anomers of
     D-glucosamine and D-galactosamine as examined by x-ray diffraction and
     energy calcns.
L11 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                            1990:624188 CAPLUS
DOCUMENT NUMBER:
                            113:224188
TITLE:
                            Design of biodegradable polymer-5-fluorouracil
                            conjugate exhibiting antitumor activities
AUTHOR (S):
                            Ouchi, Tatsuro
CORPORATE SOURCE:
                            Fac. Eng., Kansai Univ., Osaka, 564, Japan
SOURCE:
                            Polymeric Materials Science and Engineering (1990),
                            62, 412-15
                            CODEN: PMSEDG; ISSN: 0743-0515
DOCUMENT TYPE:
                            Journal
LANGUAGE:
                            English
     Five conjugates of 5-fluorouracil (5-FU), acid-5FU (I), chitosan
     -5-FU (II), chitan 5-FU (III), polygalactosamine-5FU, and
     N-acetylgalactosamine-5-FU were prepared by using pentamethylene or
     hexamethylene spacer groups in the reaction of 5-FU amines with the resp. polymer. The antitumor activity of these conjugates was tested in female
     mice (leukemic) after i.p. administration. The prolongation of life for
     the I conjugate increased with increasing 5-FU concentration The III conjugate
     increased with increasing 5-FU concentration The III conjugate showed
     significant antitumor activity, with the activity increasing with increasing 5-FU concentration The galactosamine conjugates also showed high
     prolongation of life. The II conjugate showed high growth-inhibitory
     activity against solid tumors.
L11 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                            1989:55987 CAPLUS
DOCUMENT NUMBER:
                            110:55987
                            Novel polygalactosaminidase and its microbial
TITLE:
                            manufacture
INVENTOR(S):
                            Tamura, Junichi; Kadowaki, Kiyoshi; Takagi, Hiroaki
                            Higeta Shoyu Co., Ltd., Japan
PATENT ASSIGNEE(S):
                            Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
SOURCE:
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND DATE
                                                APPLICATION NO. DATE
                        ----
     JP 63164884
                        A2
                               19880708
                                                JP 1986-308579 19861226
     JP 03008757
                        B4
                              19910206
PRIORITY APPLN. INFO.:
                                            JP 1986-308579
     Novel polygalactosaminidase that hydrolyzes polygalactosamine
     but not polyhexose, chitin and chitosan, and that has an optimal
     pH of 4.5-7.0 in citric acid-Na phosphate buffer and its microbial manufacture
     are described. Pseudomonas species H881 (FERM P-8955) was cultured in a
     medium containing glucose, yeast extract, and polypeptone at 30° for 20 h
     and then in a medium containing polygalactosamine, glucose, yeast extract and polypeptone. The culture medium (18 L) was processed to give 50
     mg polygalactosaminidase (sp. activity 52 µg GalN/min/mg protein; yield
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L11 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1986:495395 CAPLUS DOCUMENT NUMBER: 105:95395

TITLE:

Aggregation mechanism of sera from cancer patients by

galactosaminoglycan (CO-N)

AUTHOR(S):

Kawaguchi, Noboru; Ohgane, Nobuo; Kawashima, Nobuyuki; Sugawara, Shinya; Hirai, Teruo; Takeshita, Yasuyoshi;

CORPORATE SOURCE:

Tsuru, Sumiaki; Nomoto, Kikuo Res. Inst. Life Sci., Snow Brand Milk Prod. Co., Ltd.,

SOURCE:

Tochigi, 329-05, Japan Yakugaku Zasshi (1986), 106(6), 446-51

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE:

Journal LANGUAGE: Japanese

Galactosaminoglycan  $(\mathring{CO}-N)$ , prepared from the culture filtrate of Cordyceps ophioglossoides, aggregated the sera from cancer patients, but not those from healthy donors. The aggregate mainly consisted of haptoglobin, albumin, α1-acid glycoprotein, α1-antitrypsin, hemopexin, and CO-N. The pre-addition of h-CO-N (.apprx.10,000 daltons polygalactosamine obtained by partial acid hydrolysis of CO-N) resulted in inhibition of the aggregation by CO-N. Desialylation of the serum by neuraminidase treatment also resulted in inhibition of the aggregation. When h-CO-N, N-acetylated CO-N, chitosan, or diethylaminoethyl-dextran instead of CO-N was added to the serum, the aggregation was not observed When  $\alpha 1$ -acid glycoprotein was added to the serum for healthy donor, the aggregation by CO-N was observed, while haptoglobin or  $\alpha$ 1-antitrypsin did not cause aggregation. Apparently, the binding between galactosaminyl residues of CO-N and sialic acids at non-reducing ends of sugar chains of serum glycoproteins might be required as the essential step to the aggregation by CO-N.